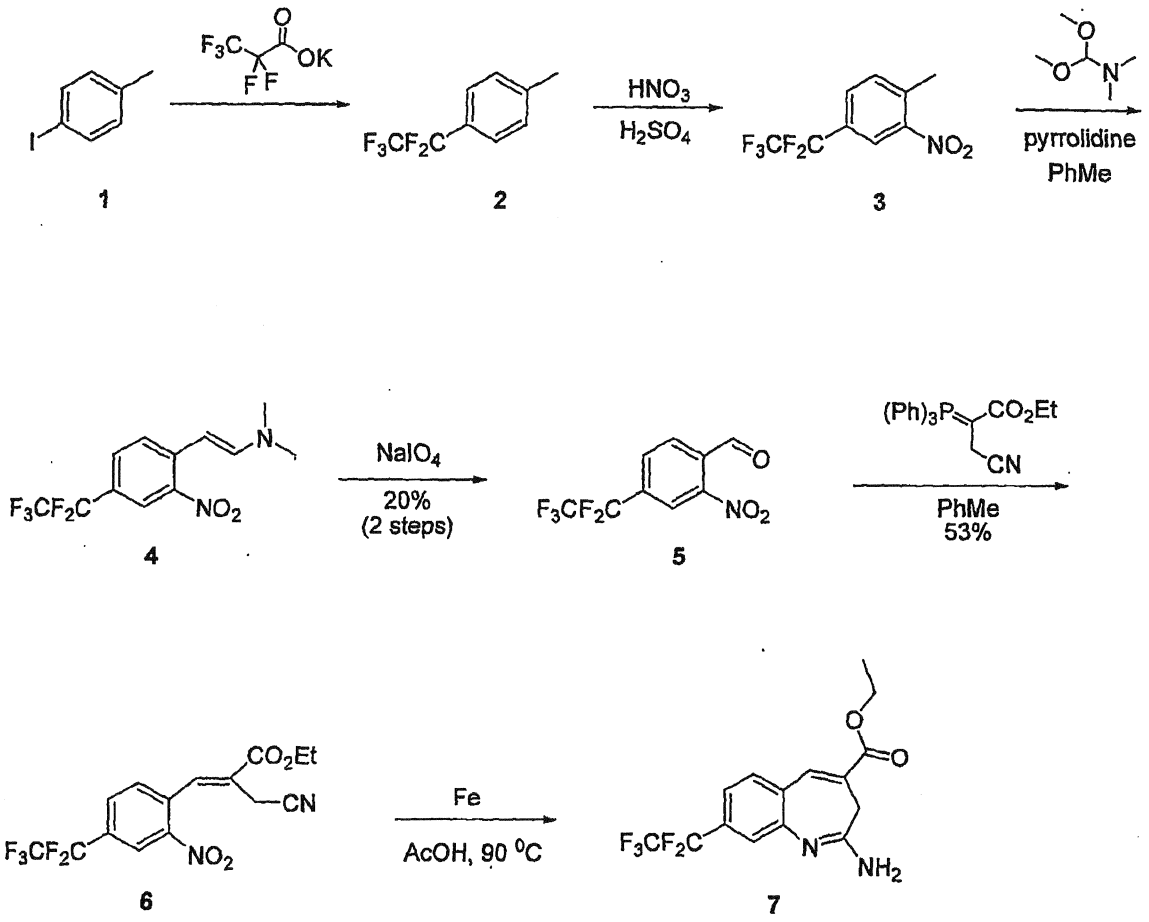

F3C
O
OK
F F
I
F3CF2C
HNO3
H2SO4
NO2
pyrrolidine
PhMe
1
2
3
4
NaIO4
20%
(2 steps)
5
(Ph)3P
CO2Et
CN
PhMe
53%
6
Fe
AcOH, 90 °C
NH2
7

FIG. 1

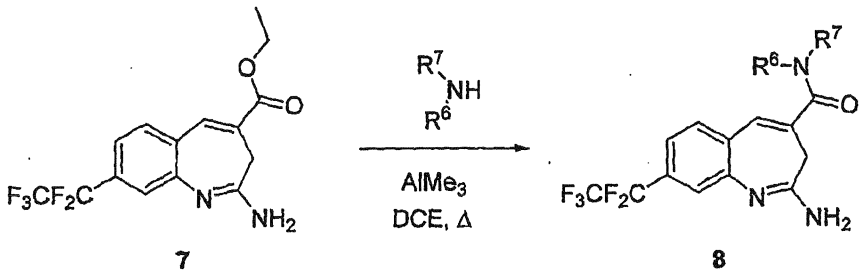
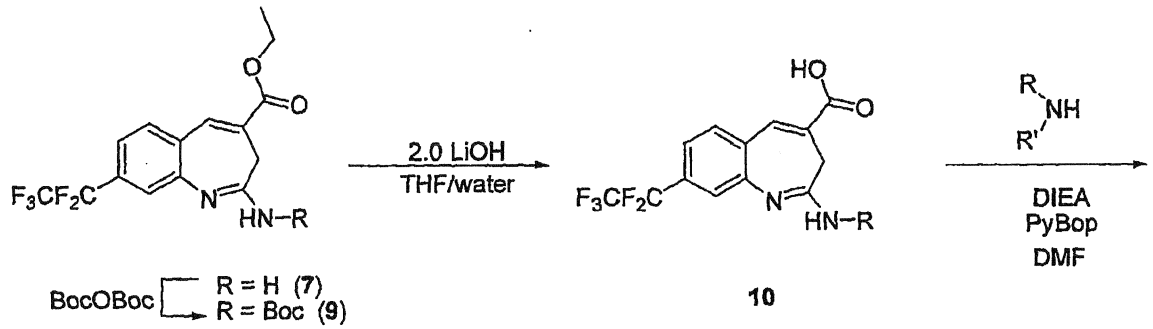

F3CF2C
O
O
N
NH2
7
R7
NH
R6
AlMe3
DCE, Δ
R6-N
R7
O
F3CF2C
N
NH2
8

FIG. 2


F3CF2C
O
O
N
HN–R
BocOBoc
R = H (7)
R = Boc (9)
2.0 LiOH
THF/water
HO
O
F3CF2C
N
HN–R
10
R
NH
R'
DIEA
PyBop
DMF

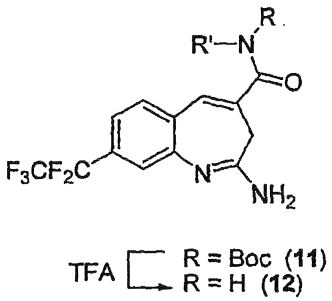

R'–N
R
O
F3CF2C
N
NH2
TFA
R = Boc (11)
R = H (12)

FIG. 3

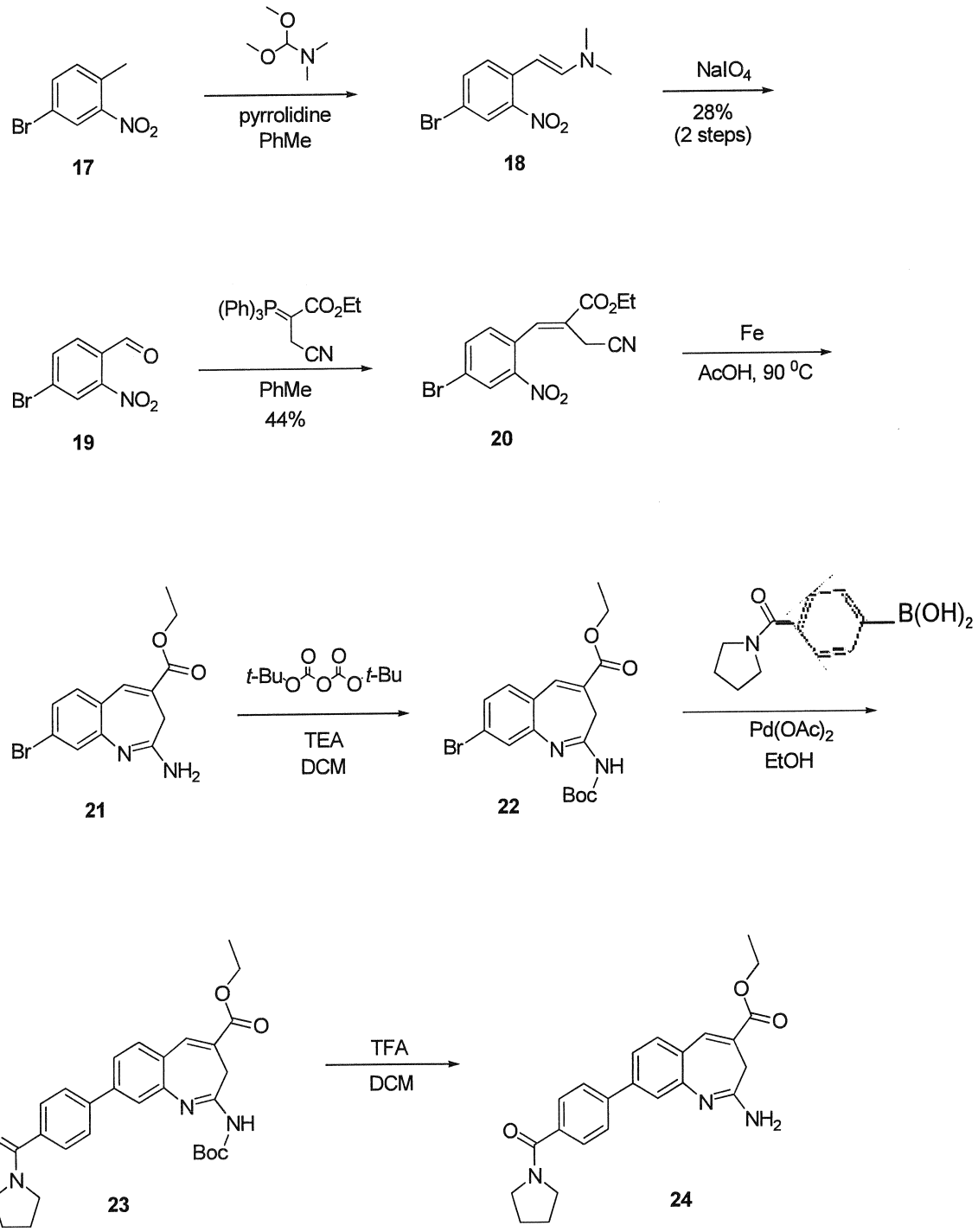

Br
NO2
17
pyrrolidine
PhMe
18
NaIO4
28%
(2 steps)
19
(Ph)3P
CO2Et
CN
PhMe
44%
20
Fe
AcOH, 90 °C
21
t-Bu
TEA
DCM
22
B(OH)2
Pd(OAc)2
EtOH
Boc
NH2
23
TFA
DCM
24

FIG. 4